FILE 'HOME' ENTERED AT 14:46:22 ON 23 MAR 2004

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 Hy,H,CN,[@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> d 1-11

L3 ANSWER 1 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

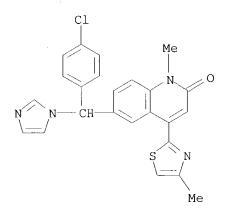
RN 439868-38-9 REGISTRY

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

MF C24 H19 C1 N4 O S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 439868-37-8 REGISTRY

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

MF C29 H21 Cl N4 O S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 439868-36-7 REGISTRY

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(5-methyl-1,3,4-oxadiazol-2-yl)-(9CI) (CA INDEX NAME)

MF C23 H18 C1 N5 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 439868-35-6 REGISTRY

CN 2(1H)-Quinolinone, 4-(2-benzoxazolyl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl- (9CI) (CA INDEX NAME)

MF C27 H19 C1 N4 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 439868-28-7 REGISTRY

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-4-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C35 H25 Cl N4 O S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L3 ANSWER 6 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN
- RN 439868-27-6 REGISTRY
- CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)
- MF C35 H25 C1 N4 O S . C1 H
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER
- CRN (439868-26-5)

## ● HCl

- 1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L3 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN
- RN 439868-26-5 REGISTRY
- CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)
- MF C35 H25 C1 N4 O S
- CI COM
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 439868-23-2 REGISTRY

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H23 C1 N4 O S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 9 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 439868-17-4 REGISTRY

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-4-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

MF C22 H16 C1 N5 O

SR

STN Files: CA, CAPLUS, TOXCENTER LC

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 10 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN L3.

190898-46-5 REGISTRY RN

2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME) CN

C27 H20 C1 N3 O3 . C2 H2 O4 MF

SR CA

CA, CAPLUS, TOXCENTER, USPATFULL LCSTN Files:

CM1

CRN 190898-45-4

CMF C27 H20 C1 N3 O3

СМ 2

CRN 144-62-7

CMF C2 H2 O4

HO-C-C-OH

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN

RN 190898-45-4 REGISTRY

CN 2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl- (9CI) (CA INDEX NAME)

MF C27 H20 C1 N3 O3

CI COM

SR CA

N CH Me

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> d ibib abs hitrn 1-2

.4 ANSWER 1 OF 2 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

137:63253 CA

TITLE:

Preparation of farnesyl transferase inhibiting

4-heterocyclylquinolines and 4-

heterocyclylquinazolines

INVENTOR(S):

Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet,

Virginie Sophie

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 63 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

English

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002051834 A1 20020704 WO 2001-EP15232 20011221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 2001-995712 20011221 20031015 Α1 EP 1351954 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR EP 2000-204716 A 20001227 PRIORITY APPLN. INFO.: WO 2001-EP15232 W 20011221 MARPAT 137:63253 OTHER SOURCE(S):

GΙ

$$\begin{bmatrix} R^{2} \\ s \end{bmatrix}$$

$$\begin{bmatrix} R^{2} \\ s \end{bmatrix}$$

$$\begin{bmatrix} R^{3} \\ 1 \end{bmatrix}$$

$$\begin{bmatrix} R^{3} \\ R^{4} \end{bmatrix}$$

$$\begin{bmatrix} R^{5} \\ R^{6} \end{bmatrix}$$

$$\begin{bmatrix} R^{5} \\ 1 \end{bmatrix}$$

The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, CHCHR9 AB (wherein R9 = H, halo, CN, etc.); R1 = ZHet (Z = a bond, O, S, etc.; Het = (un) substituted monocyclic or bicyclic heterocyclic ring contg. one or more heteroatoms selected from O, S and N); R2 = N3, OH, halo, etc.; R3 = H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl; R5 = CN, OH, halo, etc.; R6 = H, alkyl, cyanoalkyl, etc.; R7 = O, S; or R6 and R7 together from N:NN, CONHN, etc.] having farnesyl transferase inhibiting activity and useful in inhibiting tumor growth (no biol. data), were prepd. and formulated. E.g., a multi-step synthesis of quinolinone I [s = 1; t = 0; Y1Y2 = C:CH; R1 = 1H-imidazol-1-y1; R2 = 4-C1; R3 = H; R4 = 1]1H-imidazol-1-yl; R6 = H; R7 = O] was given.

439868-17-4P 439868-23-2P 439868-26-5P IT439868-27-6P 439868-28-7P 439868-35-6P 439868-36-7P 439868-37-8P 439868-38-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

127:34143 CA

TITLE:

Farnesyl transferase inhibiting 2-quinolone

derivatives

INVENTOR(S):

End, David William; Venet, Marc Gaston; Angibaud,

Patrick Rene; Sanz, Gerard Charles

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.; End, David William; Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz,

Gerard Charles

SOURCE:

PCT Int. Appl., 50 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
WO	9716443			A1		19970509			,	WO 1996-EP4661				<u> </u>	19961025				
	W:	ΑТ.,	AM.	AU.	BA.	BB.	BG.	BR.	CA	, C	N,	CU,	CZ,	ĒΕ,	GE,	HU,	IL,	IS,	
		JP.	KG.	KR.	LC,	LK,	LR,	LT,	LV	, M	D,	MG,	MK,	MN,	MX,	NO,	NΖ,	PL,	
		RO,	SG,	SI,	SK,	TR,	TT,	UA,	US	, U	Z,	VN,	ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	
		RU.	TJ.	TM															
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE	, C	Η,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF	, B	IJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	
		MR,	NE,	SN,	TD,	TG													
AU	9674933			A1 19970			0522		AU 1996-74933 199610							1025			
AU	712435			B2 19991104			AU 1996-74933 19961025 CN 1996-197917 19961025 JP 1996-517051 19961025												
CN	1200732			Α		19981202			CN 1996-197917 19961025										
CN	1101391		В		20030212														
JP	11514635			Т2		19991214				JР	199	6-51	L705:	1	1996	1025			
EΡ	1019395			A1 20000			0/19			EΡ	199	96-93	37249	9	1996	1025			
EΡ	1019	395		В	1	2002	0130												
	R:					DK,	ES,	FR,	GB	, G	R,	IT,	LI,	LU,	NL,	SE,	PT,	1E,	
		SI,	LT,	LV,	FI,	RO								^	1000	1005			
EΡ	1106	610		A	1	2001	0613			EP_	200	)1-2(	00450	0	1996	1025	D.M.	T. 17	
	R:					DK,	ES,	FR,	GB	, G	SR,	IT,	LI,	Ŀ∪,	NL,	SE,	PT,	IE,	
		SI,	LT,	LV,	FΙ,	RO					400		2004	^	1000	1005			
AT	212627			E		2002			AT'	199	16-9.	3/24	9	1996	1025				
PT	1019395			T		20020731				Ь.I.	199	16-91	093/	249	1996	1025			
ES	2171736			T3		20020916				ES	199	16-9.	3/24	9	1996	1025			
$_{ m PL}$	PL 184168			B1		20020930				PL	195	16-3.	28231	U	1990	1025			
SK	SK 282642			В6		20021008				SK	195	18-5			1996				
	IL 123567					20021110 20021113				TT.	100	00 1	2330	′	1006	1025			
	Z 290954				6	2002			CZ ZA	100	36 - 01	212		1006	1023				
	ZA 9609087			A		1998			AA NO	100	0 - 0	00 / 00		1000	0304				
	NO 9800928 US 5968952			A		1998			NO	100	20 - 9. 20 - 6.	20 6111		1998 1998 2000	U 1 2 0				
US 3900932			A	1	1999			UD	200	10 - 0	0441	3	2000	1027					
	HK 1027576				AI 200			.UJ44 E'D			200 25-2	2024.	45	_ ∆	1995	1031			
ORITY APPLN. INFO				).:					EP 1995-202945 A EP 1996-937249 A3 WO 1996-EP4661 W						1996	1025			
									MO	190	36-F	7016	4 J 61	M	1996	1025			
HER SO	OURCE	(S):			MAF	RPAT	127:			<b>1</b> ) )	, O 1	71 40	O 1	••	1000	1020			

GΙ

The invention concerns compds. I and their stereoisomers and pharmaceutically acceptable acid or base addn. salts [wherein dotted line = optional pi bond; X = O, S; R1-R11 = H, variety of substituents; adjacent R2R3 may form a bivalent radical]. I are inhibitors of farnesyl protein transferase (FPT), and are thus useful as inhibitors of tumors, other malignant and benign proliferative diseases, and angiogenesis. For instance, 3,4-dihydro-4-phenyl-2(1H)-quinolinone was acylated by 4-ClC6H4CO2H and polyphosphoric acid. The resulting ketone was reduced to an alc. with NaBH4, and the alc. was treated with NaH and 1,1'-carbonylbis-1H-imidazole to give title compd. II. Selected I had IC50 values of 0.0034-3.2 .mu.M for inhibition of FPT in vitro. In a ras-transformed cell phenotype reversion assay, selected I had IC50 values as low as 53 nM.

IT 190898-46-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolone derivs. as farnesyl transferase inhibitors)

=> d his

(FILE 'HOME' ENTERED AT 14:46:22 ON 23 MAR 2004)

Ι

FILE 'REGISTRY' ENTERED AT 14:46:29 ON 23 MAR 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 11 S L1 FULL

FILE 'CA' ENTERED AT 14:47:05 ON 23 MAR 2004

L4 2 S L3

=>

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09/844,646
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---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:47:37 ON 23 MAR 2004